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## SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner #: 59193 Date: 04 12/06  
 Art Unit: 1624 Phone Number: 2-0663 Serial Number: 10813934  
 Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle):  PAPER  DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: \_\_\_\_\_

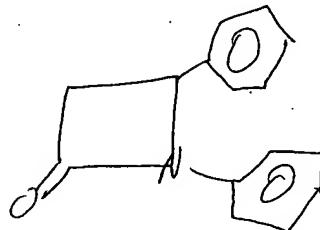
Inventors (please provide full names): \_\_\_\_\_

Earliest Priority Date: \_\_\_\_\_

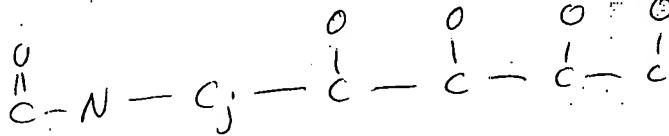
## Search Topic:

*Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.*

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



Compound must have this fragment



) = 1-3

STAFF USE ONLY		Type of Search	Vendors and cost where applicable	
Searcher:		NA Sequence (#)	STN	Dialog
Searcher Phone #:		AA Sequence (#)	Questel/Orbit	Lexis/Nexis
Searcher Location:		Structure (#)	Westlaw	WWW/Internet
Date Searcher Picked Up:		Bibliographic	In-house sequence systems	
Date Completed:		Litigation	Commercial	Oligomer
Searcher Prep & Review Time:		Fulltext	SPDI	Score/Length
Online Time:		Other	Encode/Transl	
Other (specify)				

=> d his ful

(FILE 'HOME' ENTERED AT 09:31:32 ON 02 FEB 2006)

FILE 'REGISTRY' ENTERED AT 09:31:42 ON 02 FEB 2006

L1 STR  
L2 0 SEA SSS SAM L1  
L3 12 SEA SSS FUL L1

FILE 'HCAPLUS' ENTERED AT 09:33:51 ON 02 FEB 2006

L4 6 SEA ABB=ON PLU=ON L3

FILE 'BEILSTEIN' ENTERED AT 09:34:05 ON 02 FEB 2006

L5 1 SEA SSS FUL L1  
L6 1 SEA ABB=ON PLU=ON L5/COM

FILE 'MARPAT' ENTERED AT 09:34:38 ON 02 FEB 2006

L7 STR L1  
L8 0 SEA SSS SAM L7  
L9 3 SEA SSS FUL L7  
L10 2 SEA ABB=ON PLU=ON L9/COM  
L11 0 SEA ABB=ON PLU=ON L10 NOT L4

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0

DICTIONARY FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

## FILE HCAPLUS

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 2 Feb 2006 VOL 144 ISS 6  
FILE LAST UPDATED: 1 Feb 2006 (20060201/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN  
FILE LAST UPDATED ON JANUARY 17, 2006

FILE COVERS 1771 TO 2005.  
FILE CONTAINS 9,428,406 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

\*\*\*\*\*  
\* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. \*  
\* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE \*  
\* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE \*  
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\* FOR PRICE INFORMATION SEE HELP COST \*  
\*\*\*\*\*

NEW  
\* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE  
SEARCHED, SELECTED AND TRANSFERRED.  
\* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,  
ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A  
COMPOUND AT A GLANCE.

FILE MARPAT  
FILE CONTENT: 1969-PRESENT (VOL 144 ISS 5 (20060127/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1969-1987

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6962795 08 NOV 2005  
DE 1020040544 17 NOV 2005  
EP 1595877 16 NOV 2005  
JP 2005328067 24 NOV 2005  
WO 2005112644 01 DEC 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> fil reg  
FILE 'REGISTRY' ENTERED AT 09:44:03 ON 02 FEB 2006  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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Property values tagged with IC are from the ZIC/VINITI data file  
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STRUCTURE FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0  
DICTIONARY FILE UPDATES: 31 JAN 2006 HIGHEST RN 873191-05-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

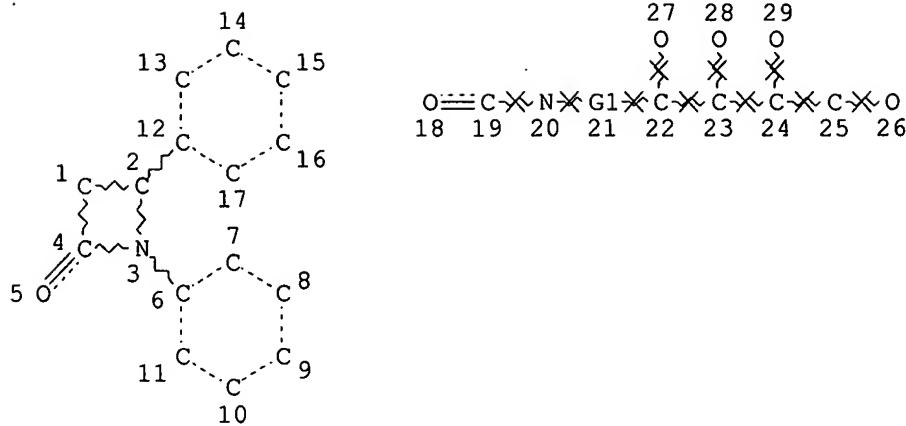
\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> d que stat 13  
L1 STR



REP G1=(1-3) C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

L3 12 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 5319 ITERATIONS

12 ANSWERS

SEARCH TIME: 00.00.01

=> fil hcap  
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 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

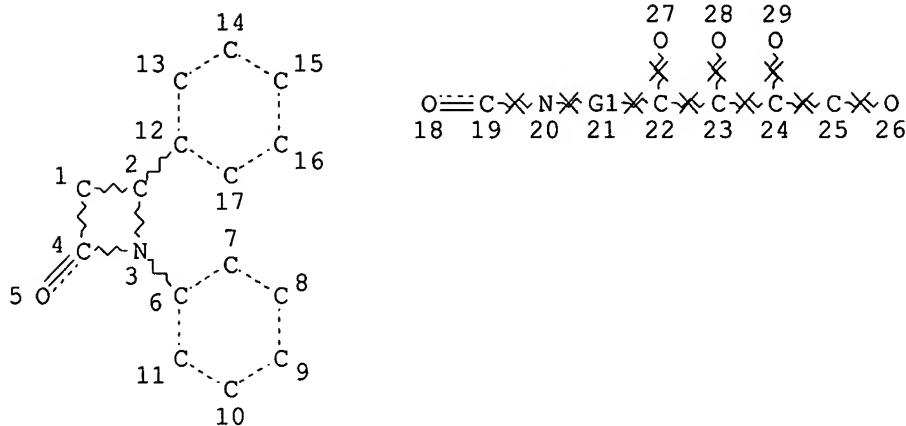
Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 2 Feb 2006 VOL 144 ISS 6  
 FILE LAST UPDATED: 1 Feb 2006 (20060201/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que stat 14  
L1 STR



REP G1=(1-3) C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

L3 12 SEA FILE=REGISTRY SSS FUL L1

L4 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L3

=&gt; d 14 ibib abs hitstr 1-6

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:588892 HCAPLUS

DOCUMENT NUMBER: 143:133694

TITLE: Preparation of diphenylazetidinone amino acid derivatives having cholesterol absorption inhibitory activity

INVENTOR(S): Alenfalk, Susanne; Dahlstroem, Mikael; Hunegnaw, Fana; Karlsson, Staffan; Lemurell, Malin; Lindqvist, Ann-Margret; Skjaeret, Tore; Starke, Ingemar

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 189 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

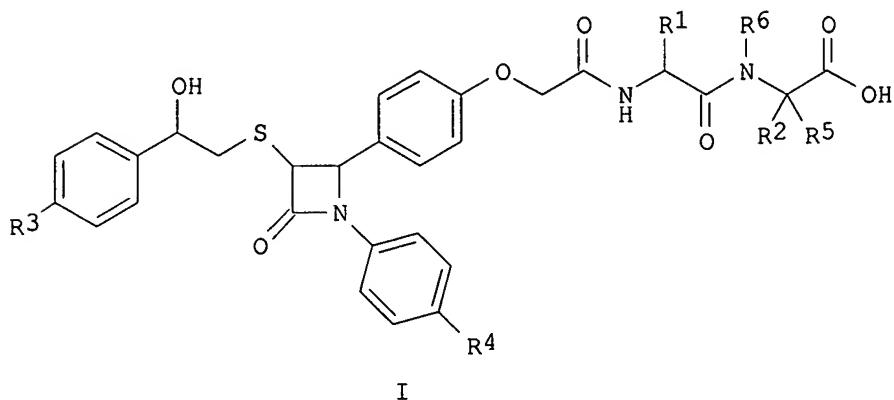
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061452	A1	20050707	WO 2004-SE1960	20041221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2003-29780 A 20031223  
 SE 2004-1907 A 20040721  
 SE 2004-2785 A 20041115

OTHER SOURCE(S): MARPAT 143:133694  
 GI



AB The invention relates to diphenylazetidinones I [R1, R2, R5 are independently H, (un)substituted alkyl, cycloalkyl or aryl; R3 is H, alkyl, halo, alkoxy or alkylthio; R4 is H, alkyl, halo or alkoxy; R6 is H, alkyl or arylalkyl; or R2 may form a ring with R5 or R6], or their pharmaceutically-acceptable salts, solvates, and prodrugs, and their use as cholesterol absorption inhibitors for the treatment of hyperlipidemia. Thus, 2-azetidinone I [R3, R4 = F; NHCHR1CONR6CR2R5CO2H = Gly-L-Ser-OH (R-configuration at 3- and 4-positions of the azetidine ring)], prepared by peptide coupling and LiAlH4 reduction of the benzoyl oxo group, showed 87% inhibition of 14C-cholesterol absorption.

IT 858103-64-7P

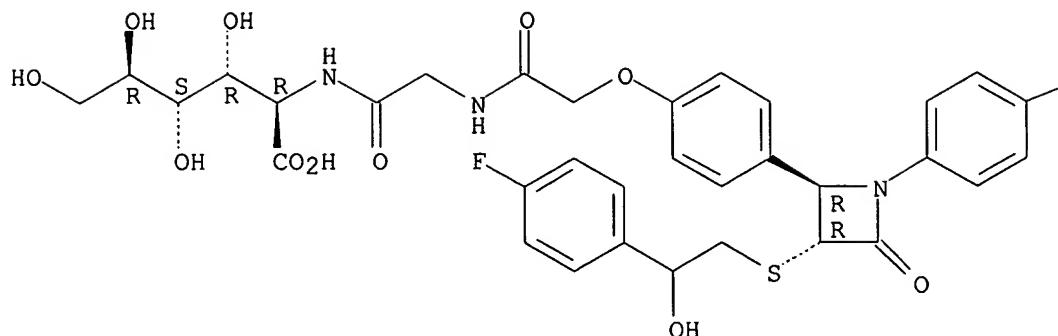
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of diphenylazetidinone amino acid derivs. having cholesterol absorption inhibitory activity)

RN 858103-64-7 HCPLUS

CN D-Gluconic acid, 2-deoxy-2-[[[[[4-[(2R,3R)-1-(4-fluorophenyl)-3-[(2-(4-fluorophenyl)-2-hydroxyethyl]thio]-4-oxo-2-azetidinyl]phenoxy]acetyl]amino]acetyl]amino]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

- F

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:857559 HCPLUS

DOCUMENT NUMBER: 141:314568

TITLE: Novel diphenyl azetidinone with improved physiological characteristics, corresponding production method, medicaments containing said compound and use of the latter

INVENTOR(S): Jaehne, Gerhard; Frick, Wendelin; Lindenschmidt, Andreas; Flohr, Stefanie; Heuer, Hubert; Schaefer, Hans-Ludwig; Kramer, Werner; Galia, Eric; Glombik, Heiner

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

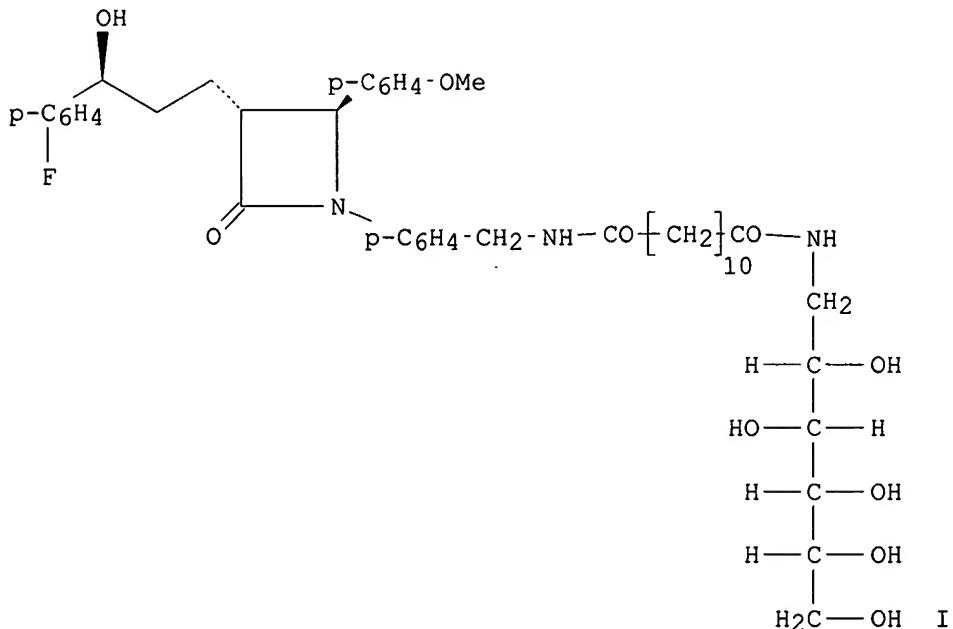
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087655	A1	20041014	WO 2004-EP2690	20040316
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

DE 10314610	A1	20041104	DE 2003-10314610	20030401
CA 2520689	AA	20041014	CA 2004-2520689	20040316
EP 1613589	A1	20060111	EP 2004-720854	20040316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
US 2005020563	A1	20050127	US 2004-813954	20040331
PRIORITY APPLN. INFO.:				
DE 2003-10314610 A 20030401				
US 2003-494456P P 20030811				
WO 2004-EP2690 W 20040316				

OTHER SOURCE(S) : MARPAT 141:314568  
GI



AB The invention relates to a novel di-Ph azetidinone (I) and its physiol. compatible salts, to a method for its production, to medicaments containing said

compound and to the use of the latter. Said compound is suitable for use for example as a hypolipidemic agent. Thus, dodecanedioic acid was reacted with thionyl chloride followed by MeOH to give a monomethyl ester, which was then reacted with glucamine and deesterified to give the monoamide intermediate (II). II was reacted with the previously known (2S,3R)-1-(4-aminomethylphenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-methoxyphenyl)azetidin-2-one to give I in 32% yield. In in vitro tests on mice, I had ED50 0.005 mg/mouse for 50% reduction of liver 14C-labeled cholesterol. In solubility tests, compared to a similar reference compound, I

had better solubility in water, at pH's 1.2, 4.5, 6.8, and 8.0, and in both fasted- (28 µg/mL vs 5) and fed-state simulating intestinal fluids (454 µg/mL vs 18) (FaSSIF and FeSSIF).

IT 768394-99-6P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

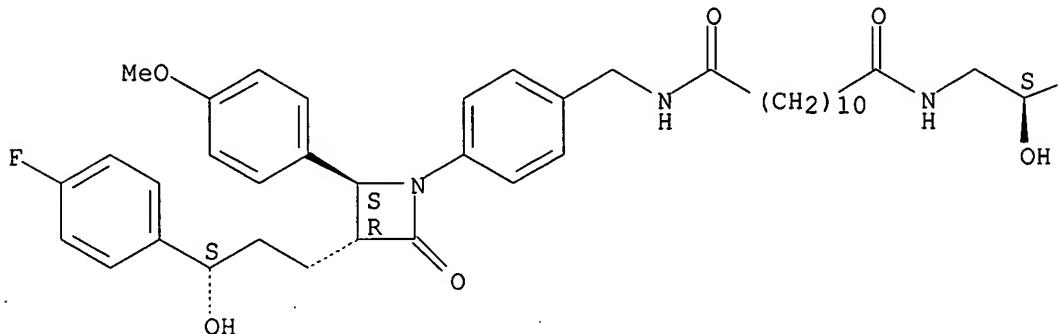
(preparation of 1,2-diphenylazetidinone alditol derivs. for use as hypolipidemics for treatment of hyperlipidemia)

RN 768394-99-6 HCAPLUS

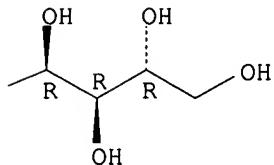
CN D-Glucitol, 1-deoxy-1-[[12-[[[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]amino]-1,12-dioxododecyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



IT 768394-97-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

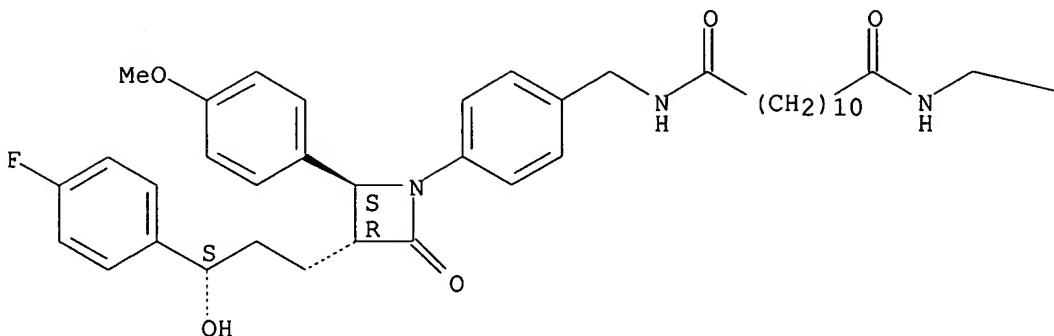
(preparation of 1,2-diphenylazetidinone alditol derivs. for use as hypolipidemics for treatment of hyperlipidemia)

RN 768394-97-4 HCAPLUS

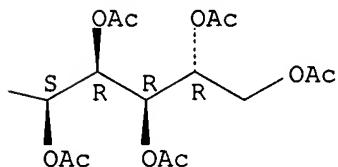
CN D-Glucitol, 1-deoxy-1-[[12-[[[4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-2-(4-methoxyphenyl)-4-oxo-1-azetidinyl]phenyl]methyl]amino]-1,12-dioxododecyl]amino]-, 2,3,4,5,6-pentaacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



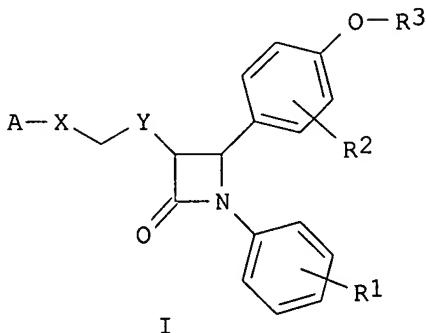
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 HCPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:41434 HCPLUS  
 DOCUMENT NUMBER: 140:111687  
 TITLE: Preparation of diphenylazetidinone peptide derivatives for treating disorders of lipid metabolism  
 INVENTOR(S): Starke, Ingemar; Dahlstrom, Mikael Ulf Johan; Lindqvist, Ann-Margret; Nordberg, Mats Peter; Skjaret, Tore; Lemurell, Malin Anita  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 134 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005247	A1	20040115	WO 2003-GB2811	20030701
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2491789	AA	20040115	CA 2003-2491789	20030701
BR 2003012280	A	20050412	BR 2003-12280	20030701

EP 1521742	A1	20050413	EP 2003-762763	20030701
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501184	T2	20060112	JP 2004-518920	20030701
US 2005239766	A1	20051027	US 2004-519897	20041231
NO 2005000016	A	20050301	NO 2005-16	20050103
PRIORITY APPLN. INFO.:			GB 2002-15579	A 20020705
			WO 2003-GB2811	W 20030701

OTHER SOURCE(S): MARPAT 140:111687  
GI



AB Azetidinone derivs. I [A is (un)substituted Ph or thienyl; X, Y are (un)substituted methylene, O, NH, alkylimino, S, SO, or SO2; R1, R2 are H, halo, nitro, cyano, etc.; R3 is (CHR4)1-2CONR5CR6R7(CHR8)0-2R9, where R4, R6, R7, R8 are H, (un)substituted alkyl, carbocyclyl, or heterocyclyl or R6R7 is alkylene; R5 is H or alkyl; R9 is H, halo, nitro, amino, carbamoyl, sulfamoyl, hydroxyaminocarbonyl, alk(en)(yn)yl, alkoxy, alkoxycarbonyl, alkylamino, etc.] or their pharmaceutically-acceptable salts or prodrugs were prepared for use as cholesterol absorption inhibitors for the treatment of hyperlipidemia. Thus, 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-carboxymethoxyphenyl)azetidin-2-one and tert-Bu N-[(2R)-2-amino-2-phenylethanoyl]glycinate were prepared and reacted to form the carboxamide.

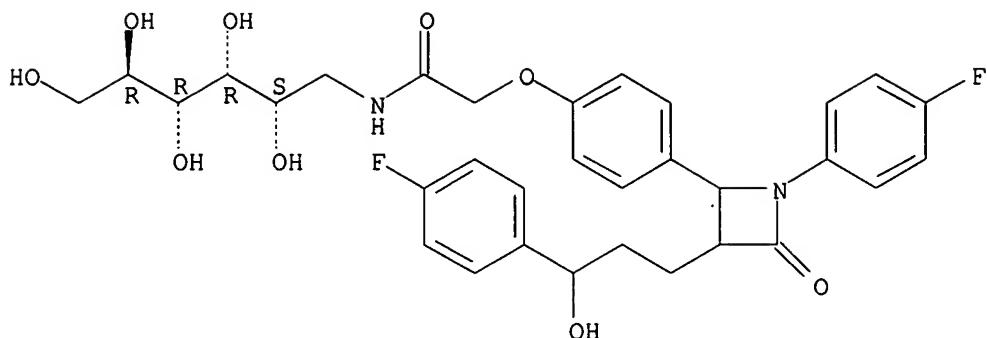
IT 646523-74-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of diphenylazetidinone peptide derivs. for treating disorders of lipid metabolism)

RN 646523-74-2 HCAPLUS

CN D-Glucitol, 1-deoxy-1-[[[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 HCPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2004:2850 HCPLUS  
 DOCUMENT NUMBER: 140:77013  
 TITLE: Preparation of diphenylazetidinones for the treatment of hyperlipidemia, arteriosclerosis and hypercholesterolemia  
 INVENTOR(S): Jaehne, Gerhard; Frick, Wendelin; Flohr, Stefanie; Lindenschmidt, Andreas; Glombik, Heiner; Kramer, Werner; Heuer, Hubert; Schaefer, Hans-Ludwig  
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany  
 SOURCE: PCT Int. Appl., 74 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000804	A1	20031231	WO 2003-EP5815	20030604
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DE 10227506	A1	20040108	DE 2002-10227506	20020619
CA 2490109	AA	20031231	CA 2003-2490109	20030604
EP 1517892	A1	20050330	EP 2003-760591	20030604
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BR 2003011940	A	20050405	BR 2003-11940	20030604
NZ 537304	A	20051028	NZ 2003-537304	20030604
JP 2005533072	T2	20051104	JP 2004-514660	20030604
US 2004082561	A1	20040429	US 2003-463807	20030618
NO 2005000073	A	20050106	NO 2005-73	20050106
PRIORITY APPLN. INFO.:			DE 2002-10227506	A 20020619
			US 2002-411984P	P 20020919

OTHER SOURCE(S) : MARPAT 140:77013  
GI

WO 2003-EP5815

W 20030604

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1, R2, R3, R4, R5, R6 = (un)substituted alkylene-(LAG)n; n = 1-5; LAG = sugar; amino sugar; amino acid, etc.] and their pharmaceutically acceptable salts were prepared. For example, N-alkylation of 1,4-diazabicyclo[2.2.2]octane with benzyl bromide II, e.g., prepared from 1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone and 1,2-bisbromomethylbenzene, afforded diphenylazetidinone III. In rat liver chloresterol absorption assays, 26-examples of compds. I exhibited EC50 values ranging from 0.03-<1.0 (mg/mouse), e.g., the EC50 value of diphenylazetidinone III was 0.3. Compds. I are claimed useful for the treatment of hyperlipidemia, arteriosclerosis and hypercholesterolemia.

IT 640330-69-4P 641614-30-4P 641614-31-5P

641614-40-6P

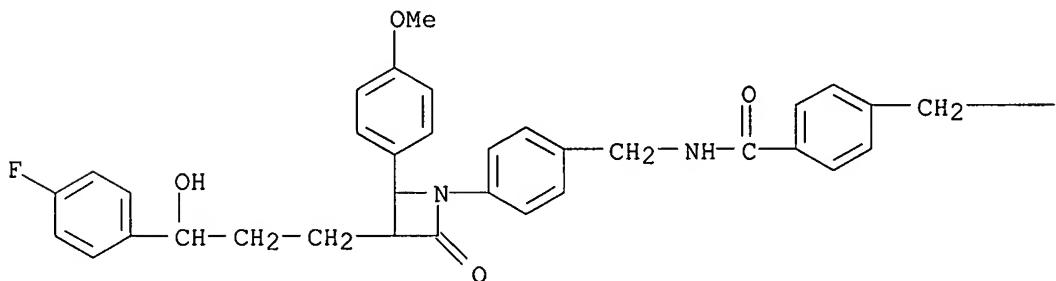
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of diphenylazetidinones for the treatment of hyperlipidemia, arteriosclerosis and hypercholesterolemia)

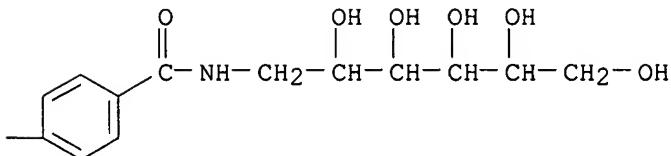
RN 640330-69-4 HCAPLUS

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PAGE 1-A



PAGE 1-B

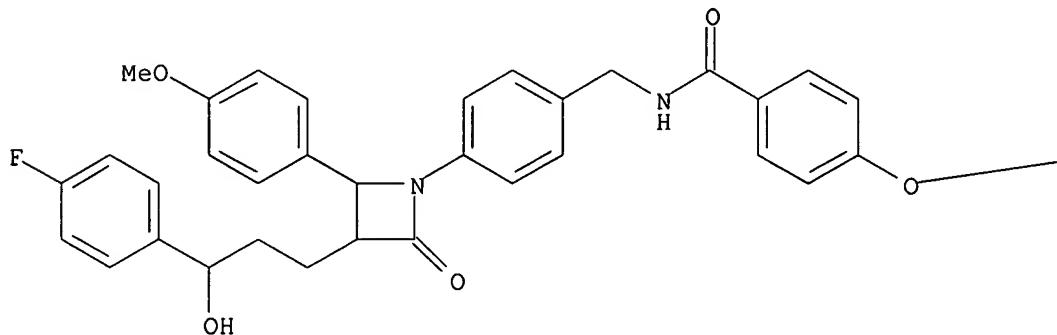


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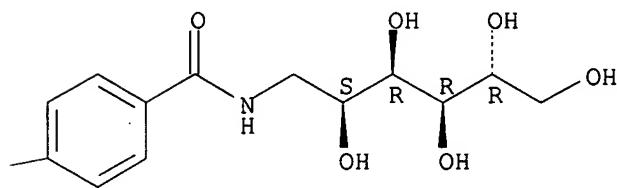
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

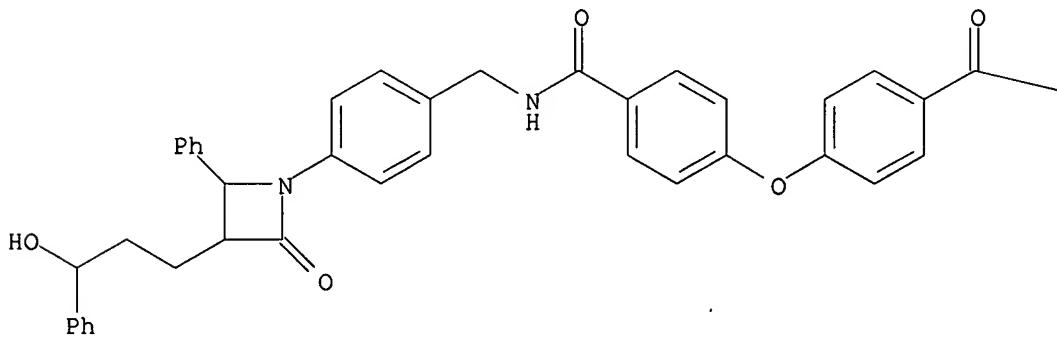


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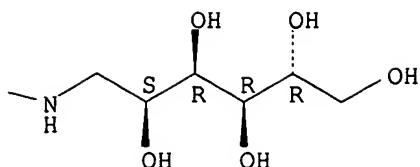
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

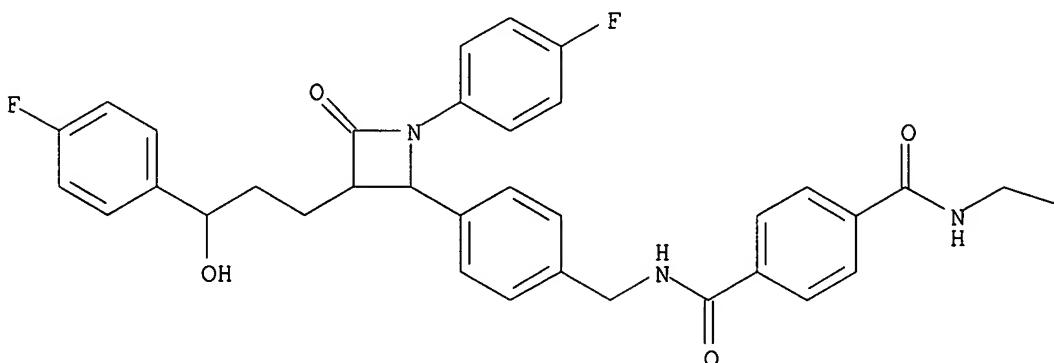


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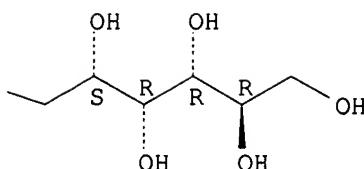
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### Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:487523 HCPLUS

DOCUMENT NUMBER: 137:63113

SEARCHED NUMBER: 157-35115  
TITLE: Method for producing novel 1,2-diphenylazetidinones, medicaments containing them, and their use for treating disorders of lipid metabolism

INVENTOR(S): Glombik, Heiner; Kramer, Werner; Flohr, Stefanie;

Frick, Wendelin; Heuer, Hubert; Jaehne, Gerhard;  
 Lindenschmidt, Andreas; Schaefer, Hans-Ludwig  
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany  
 SOURCE: PCT Int. Appl., 77 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050027	A1	20020627	WO 2001-EP14531	20011211
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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DE 10152981	A1	20030508	DE 2001-10152981	20011026
CA 2431983	AA	20020627	CA 2001-2431983	20011211
AU 2002016097	A5	20020701	AU 2002-16097	20011211
EE 200300236	A	20030815	EE 2003-236	20011211
EP 1345895	A1	20030924	EP 2001-271353	20011211
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NO 2003002734	A	20030818	NO 2003-2734	20030616
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			DE 2001-10152981	A 20011026
			WO 2001-EP14531	W 20011211
			US 2001-21502	A3 20011219

OTHER SOURCE(S): CASREACT 137:63113; MARPAT 137:63113  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to the compds. I [R1, R2, R3, R4, R5, R6 = C0-30-alkylene-LAG {optionally containing O, CO, CH:CH, C.tplbond.C, N(C1-6-alkyl), N(C1-6-alkylphenyl), NH}, H, F, Cl, Br, I, CF3, NO2, CN, CO2H, CO2(C1-6-alkyl), CONH, CONH(C1-6-alkyl), CON(C1-6-alkyl)2, C1-6-alkyl, C1-6-alkenyl, C1-6-alkynyl, O-(C1-6-alkyl), SO2NH2, SO2NH(C1-6-alkyl) SO2N(C1-6-alkyl)2, S-(C1-6-alkyl), SO(C1-6-alkyl), (un)substituted S(CH2)nPh, SO(CH2)nPh, SO2(C1-6-alkyl), SO2(CH2)nPh, NH2, NH(C1-6-alkyl), N(C1-6-alkyl)2, NH(C1-6-acyl), (un)substituted Ph, O(CH2)nPh; LAG = sugar residue, di-, tri-, tetrasaccharide, carbohydrate

acid, amino sugar, amino acid, oligopeptide (2 - 9 residues), (trialkylammonium)alkyl, OSO<sub>3</sub>H] and to their physiol. acceptable salts, suitable, for example, as hypolipidemics. Thus, 1,2-diphenylazetidinone II [R<sub>10</sub> = CO(CH<sub>2</sub>)<sub>11</sub>NHCO(CHOH)<sub>4</sub>CH<sub>2</sub>OH] was prepared from (methoxyphenyl)azetidinone II (R<sub>10</sub> = H) via N-acylation with 12-[ (2,3,4,5,6-pentahydroxyhexanoyl)amino]dodecanoic acid. Azetidinone II was tested for its cholesterol lowering ability [ED<sub>50</sub> = 0.003 mg/mouse].

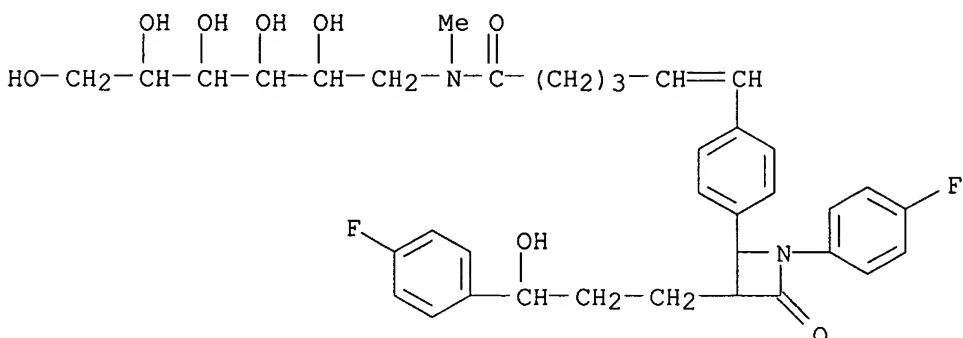
IT 439080-89-4P 439080-95-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel 1,2-diphenylazetidinones as hypolipidemics)

RN 439080-89-4 HCPLUS

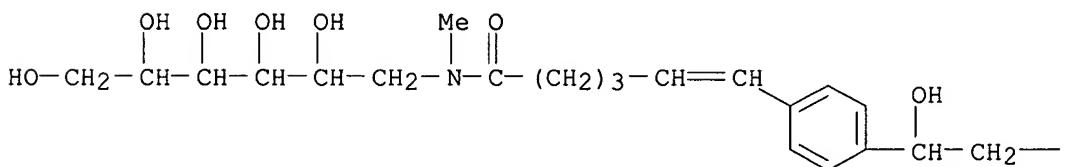
CN Hexitol, 1-deoxy-1-[[6-[4-[1-(4-fluorophenyl)-3-[3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-1-oxo-5-hexenyl]methylamino]- (9CI) (CA INDEX NAME)



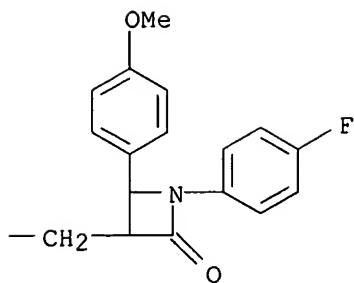
RN 439080-95-2 HCPLUS

CN Hexitol, 1-deoxy-1-[[6-[4-[3-[1-(4-fluorophenyl)-2-(4-methoxyphenyl)-4-oxo-3-azetidinyl]-1-hydroxypropyl]phenyl]-1-oxo-5-hexenyl]methylamino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

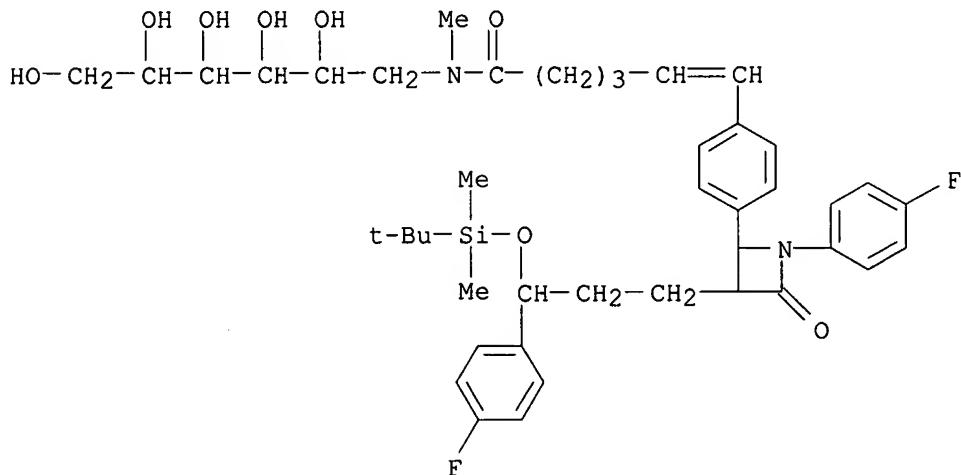


IT 439080-88-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of novel 1,2-diphenylazetidinones as hypolipidemics)

RN 439080-88-3 HCPLUS

CN Hexitol, 1-deoxy-1-[(6-[4-[3-[3-[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl]-1-oxo-5-hexenyl)methylamino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:337121 HCPLUS

DOCUMENT NUMBER: 133:135519

TITLE: Synthesis of a new chiral oxazolidinone auxiliary based on D-xylose and its application to the Staudinger reaction

AUTHOR(S): Saul, Robert; Kopf, Jurgen; Koll, Peter

CORPORATE SOURCE: Department of Chemistry, University of Oldenburg, Oldenburg, D-26111, Germany

SOURCE: Tetrahedron: Asymmetry (2000), 11(2), 423-433

CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:135519

AB The synthesis of a new chiral oxazolidinone auxiliary based on D-xylose is described which is employed in diastereoselective Staudinger-type  $\beta$ -lactam syntheses. Using 2-chloro-1-methylpyridinium iodide as the dehydrating reagent, the reaction of auxiliary tethered acetic acid with acyclic or cyclic imines gave the desired  $\beta$ -lactams in good yields with excellent cis- or trans-selectivity depending on the geometry of the imine. X-Ray structure determination of one of the obtained compds.

corroborated

the absolute configuration for all cis products.

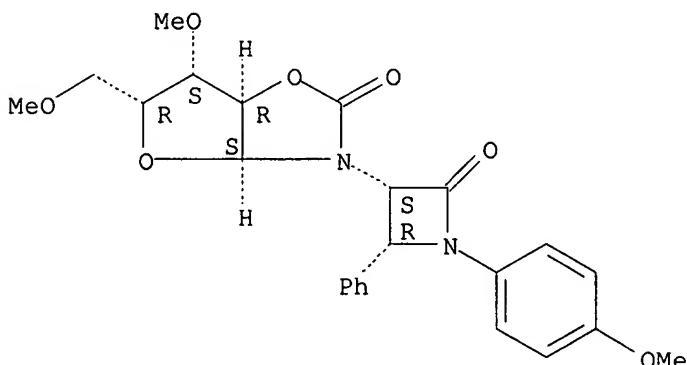
IT 286435-80-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(synthesis of a new chiral oxazolidinone auxiliary based on D-xylose and its application to the Staudinger reaction)

RN 286435-80-1 HCPLUS

CN Furo[2,3-d]oxazol-2(3H)-one, tetrahydro-6-methoxy-5-(methoxymethyl)-3-[(3S,4R)-1-(4-methoxyphenyl)-2-oxo-4-phenyl-3-azetidinyl]-, (3aS,5R,6S,6aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT:

59

THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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\*\*\* FILE CONTAINS 9,428,406 SUBSTANCES \*\*\*

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between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

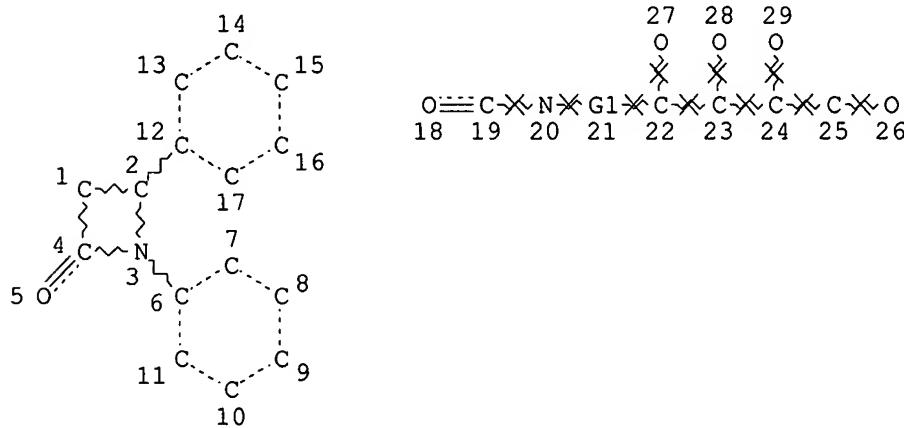
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 ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A  
 COMPOUND AT A GLANCE.

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

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NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE

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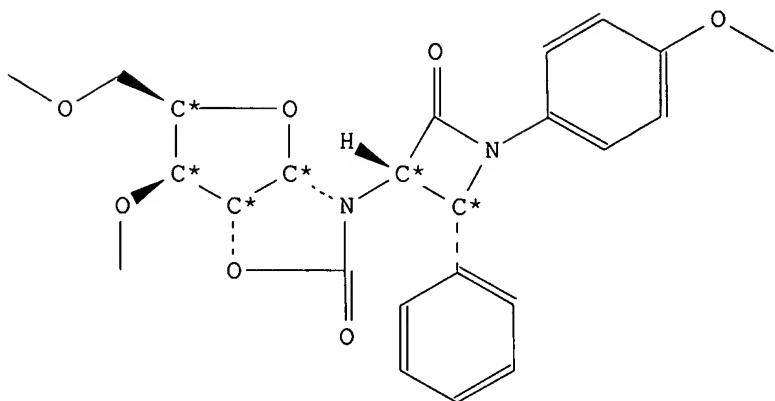
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Chemical Name (CN): 1-N-*<cis*-(3'S,4'R)-2'-oxo-4'-phenyl-1'-(p-methoxyphenyl)-3'-azetidinyl>-1-N,2-O-carbonyl-3,5-di-O-methyl- $\alpha$ -D-xylofuranosylamine  
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 Molec. Formula (MF): C24 H26 N2 O7  
 Molecular Weight (MW): 454.48  
 Lawson Number (LN): 31877, 27709, 14892, 289  
 File Segment (FS): Stereo compound  
 Compound Type (CTYPE): heterocyclic  
 Constitution ID (CONSID): 7271356  
 Tautomer ID (TAUTID): 8075597  
 Entry Date (DED): 2000/10/24  
 Update Date (DUPD): 2000/10/24



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CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
MP	Melting Point	1
NMR	Nuclear Magnetic Resonance	3
ORP	Optical Rotatory Power	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

## All References: ALLREF

1. Saul, Robert; Kopf, Juergen; Koell, Peter, Tetrahedron: Asymmetry, CODEN: TASYE3, 11(2), <2000>, 423 - 434; BABS-6242731

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FILE CONTENT: 1969-PRESENT (VOL 144 ISS 5 (20060127/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1969-1987

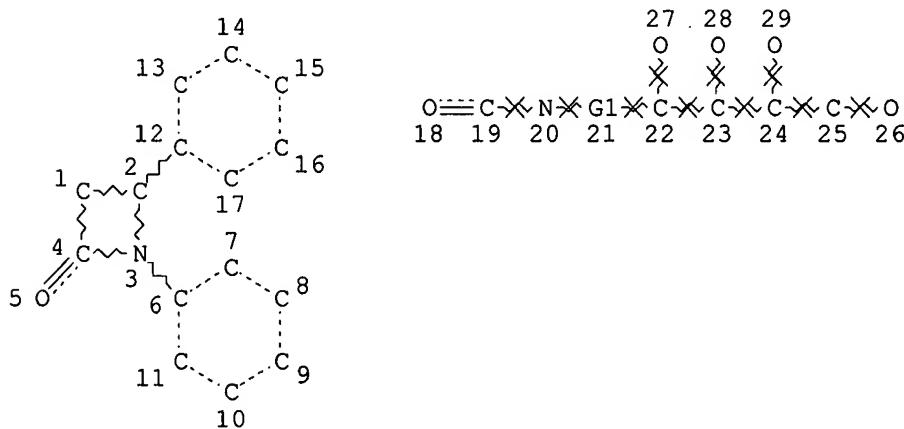
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(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6962795 08 NOV 2005  
DE 1020040544 17 NOV 2005  
EP 1595877 16 NOV 2005  
JP 2005328067 24 NOV 2005  
WO 2005112644 01 DEC 2005

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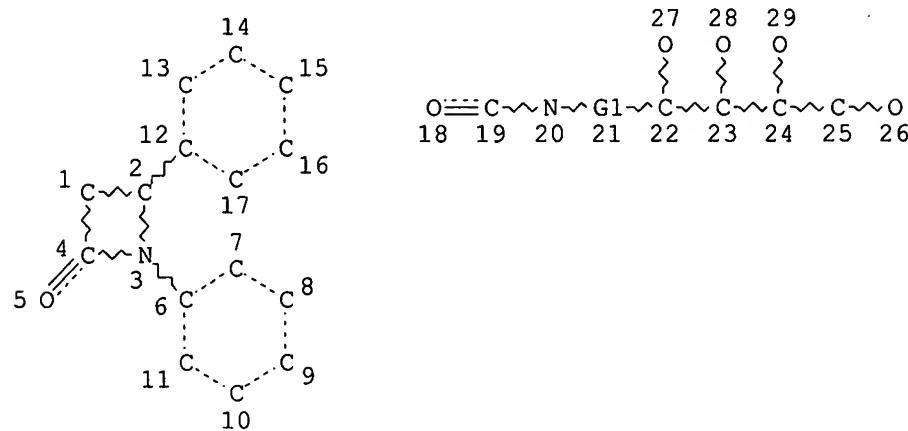
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